Connecting via Winsock to STN

```
Welcome to STN International! Enter x:x
```

LOGINID:sssptau121zxn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
* * * * * * * *
                    Welcome to STN International
                Web Page URLs for STN Seminar Schedule - N. America
NEWS 1
                "Ask CAS" for self-help around the clock
NEWS
                New pricing for the Save Answers for SciFinder Wizard within
NEWS 3
        SEP 01
                STN Express with Discover!
        OCT 28 KOREAPAT now available on STN
NEWS
        NOV 30 PHAR reloaded with additional data
NEWS
     5
        DEC 01 LISA now available on STN
NEWS
     6
        DEC 09
                12 databases to be removed from STN on December 31, 2004
NEWS
     7
                MEDLINE update schedule for December 2004
     8 DEC 15
NEWS
     9 DEC 17
NEWS
                ELCOM reloaded; updating to resume; current-awareness
                alerts (SDIs) affected
NEWS 10 DEC 17
                COMPUAB reloaded; updating to resume; current-awareness
                alerts (SDIs) affected
NEWS 11 DEC 17
                SOLIDSTATE reloaded; updating to resume; current-awareness
                alerts (SDIs) affected
NEWS 12 DEC 17 CERAB reloaded; updating to resume; current-awareness
                alerts (SDIs) affected
                THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS 13 DEC 17
     14 DEC 30
                EPFULL: New patent full text database to be available on STN
NEWS
NEWS 15 DEC 30 CAPLUS - PATENT COVERAGE EXPANDED
NEWS 16 JAN 03 No connect-hour charges in EPFULL during January and
                 February 2005
     17 JAN 26
                CA/CAPLUS - Expanded patent coverage to include the Russian
NEWS
                Agency for Patents and Trademarks (ROSPATENT)
NEWS
     18 FEB 10
                STN Patent Forums to be held in March 2005
                STN User Update to be held in conjunction with the 229th ACS
     19 FEB 16
                National Meeting on March 13, 2005
NEWS EXPRESS
             JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
             AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005
              STN Operating Hours Plus Help Desk Availability
NEWS HOURS
NEWS INTER
              General Internet Information
              Welcome Banner and News Items
NEWS LOGIN
              Direct Dial and Telecommunication Network Access to STN
NEWS PHONE
NEWS WWW
              CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer

agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 10:40:19 ON 16 FEB 2005

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 10:40:27 ON 16 FEB 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 FEB 2005 HIGHEST RN 831913-30-5 DICTIONARY FILE UPDATES: 15 FEB 2005 HIGHEST RN 831913-30-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

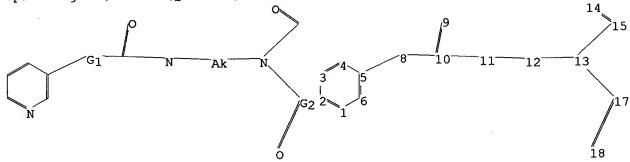
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>

Uploading C:\STNEXP4\QUERIES\09595218.str



chain nodes :

8 9 10 11 12 14 18

ring nodes :

1 2 3 4 5 6 13 15 17

chain bonds :

5-8 8-10 9-10 10-11 11-12 12-13 14-15 17-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-15 13-17

exact/norm bonds :

5-8 8-10 9-10 10-11 11-12 12-13 13-15 13-17 14-15 17-18

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

isolated ring systems :

containing 1:

G1:Cb,Ak

G2:C,S

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:CLASS 9:CLASS 10:CLASS

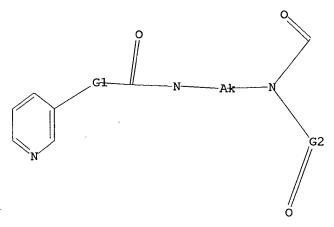
11:CLASS 12:CLASS 13:Atom 14:CLASS 15:Atom 17:Atom 18:CLASS

L1 STRUCTURE UPLOADED

=> dis 11

L1 HAS NO ANSWERS

L1 STR



G1 Cb, Ak

G2 C,S

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sam

SAMPLE SEARCH INITIATED 10:40:51 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 682 TO ITERATE

100.0% PROCESSED 682 ITERATIONS SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

COMPLETE BATCH

PROJECTED ITERATIONS: 12074 TO 15206

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> dis

ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN

227473-21-4 REGISTRY RN

2-Propenamide, N-[4-(2,5-dihydro-2,5-dioxo-3-phenyl-1H-pyrrol-1-yl)butyl]-CN 3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C22 H21 N3 O3

SR CA

STN Files: CA, CAPLUS, TOXCENTER, USPATZ, USPATFULL LC

DT.CA CAplus document type: Patent

Roles from patents: BIOL (Biological study); PREP (Preparation); USES RL.P (Uses)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s 11 full

FULL SEARCH INITIATED 10:41:05 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 14184 TO ITERATE

100.0% PROCESSED 14184 ITERATIONS 41 ANSWERS

SEARCH TIME: 00.00.02

41 SEA SSS FUL L1 L3

=> file hcaplus

SINCE FILE TOTAL COST IN U.S. DOLLARS SESSION

ENTRY

163.17 163.38 FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 10:41:16 ON 16 FEB 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 16 Feb 2005 VOL 142 ISS 8 FILE LAST UPDATED: 15 Feb 2005 (20050215/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 4 L3

=> dis 14 1-4 bib abs hitstr

L4 ANSWER 1 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:472319 HCAPLUS

DN 141:47322

TI Sulfur heterocycle-condensed pyrimidinedione derivatives, prodrugs of them, JNK inhibitors containing them, and pharmaceuticals containing them

IN Ito, Fumio; Kimura, Hiroyuki; Ikata, Hideki; Kitamura, Shuji; Kawamoto, Tomohiro; Abe, Hidenori

PA Takeda Chemical Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 117 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 2004161716 PRAI JP 2002-332027 OS MARPAT 141:47322 GI	A2	20040610 20021115	JP 2002-332027	20021115

$$QX^{3}YLX^{2}-N$$

$$QX^{3}YLX^{3}-N$$

$$QX^{3}YLX^$$

The derivs., useful for prevention and treatment of diseases involving JNK, e.g. cardiac failure, hypertension, rheumatoid arthritis, asthma, Alzheimer's disease, ischemia, etc., are represented by I [R = H, (un)substituted hydrocarbyl, (un)substituted heterocyclyl; X1, X2 =

(un) substituted C2-4 alkylene; X3 = direct bond, (un) substituted C1-5 alkylene, (un) substituted C2-4 alkenylene; Y = direct bond, (un) substituted divalent cyclic group; Q = direct bond, O, S, NR1 [R1 = H, (un) substituted lower alkyl]; L = direct bond, CONR2 [R2 = H, (un) substituted lower alkyl]; ring A = (un) substituted N-heterocycle; n = 0, 1, 2]. JNK inhibitors contain I, their salts, or prodrugs of I. Thus, IC50 of 4-(6-aminopyridin-3-yl)-N-[3-(1,1,6,8-tetraoxo-9-phenyl-1,3,4,8-tetrahydro-2H-1 λ 6-pyrimido[6,1-b][1,3]thiazin-7-yl)propyl]benzamide hydrochloride (II preparation given) against human JNK1 was 0.00082 μ M. Capsules and tablets containing II were also formulated.

TT 701214-80-4P 701215-93-2P 701216-00-4P 701216-12-8P 701216-13-9P 701216-14-0P 701216-15-1P 701216-16-2P 701216-17-3P 701216-18-4P 701216-19-5P 701216-20-8P 701216-22-0P 701216-23-1P 701216-24-2P 701216-25-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfur heterocycle-condensed pyrimidinedione derivs. as JNK inhibitors)

RN 701214-80-4 HCAPLUS

CN Benzamide, N-[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]-4-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 701215-93-2 HCAPLUS

CN Carbamic acid, [5-[4-[[[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]amino]carbonyl]phenyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 701216-00-4 HCAPLUS

CN 4-Pentenamide, N-[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]-5-phenyl-5-(3-pyridinyl)-,

mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 701215-99-8 CMF C32 H32 N4 O5 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 701216-12-8 HCAPLUS

CN Carbamic acid, [5-[3-[[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]amino]carbonyl]phenyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 701216-13-9 HCAPLUS

CN Carbamic acid, cyclohexyl[5-[4-[[[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]amino]carbonyl]phenyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 701216-14-0 HCAPLUS

CN Carbamic acid, [5-[4-[[[3-(3,4-dihydro-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]amino]carbonyl]phenyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 701216-15-1 HCAPLUS

CN Carbamic acid, [5-[3-[[[3-(3,4-dihydro-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]amino]carbonyl]phenyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 701216-16-2 HCAPLUS

CN Carbamic acid, [5-[4-[[[3-(3,4-dihydro-6,8-dioxo-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]amino]carbonyl]phenyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
S & O & O & N & O \\
N & N & O & N & O \\
N & N & N & O & N \\
N & N & O & N \\
N & N & O & N \\
N & O & N & O \\
N & O & O & O \\
N & O &$$

RN 701216-17-3 HCAPLUS

CN Benzamide, 4-(6-amino-3-pyridinyl)-N-[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 701216-18-4 HCAPLUS

CN Benzamide, 4-(6-amino-3-pyridinyl)-N-[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 701216-19-5 HCAPLUS

CN Benzamide, 4-(6-amino-3-pyridinyl)-N-[3-(3,4-dihydro-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]- (9CI) (CA INDEX NAME)

RN 701216-20-8 HCAPLUS

CN Benzamide, 4-(6-amino-3-pyridinyl)-N-[3-(3,4-dihydro-6,8-dioxo-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]- (9CI) (CA INDEX NAME)

RN 701216-22-0 HCAPLUS

CN Benzamide, 3-(6-amino-3-pyridinyl)-N-[3-(3,4-dihydro-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 701216-21-9 CMF C28 H27 N5 O3 S

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 701216-23-1 HCAPLUS

CN Benzamide, N-[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]-4-[6-(phenylamino)-3-pyridinyl]- (9CI) (CA INDEX NAME)

RN 701216-24-2 HCAPLUS

CN Benzamide, 4-[6-(cyclohexylamino)-3-pyridinyl]-N-[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]- (9CI) (CA INDEX NAME)

RN 701216-25-3 HCAPLUS

CN Benzeneacetamide, N-[5-[4-[[[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]amino]carbonyl]phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

IT 701214-82-6 701214-85-9 701214-86-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of sulfur heterocycle-condensed pyrimidinedione derivs. as JNK inhibitors)

RN 701214-82-6 HCAPLUS

CN Benzamide, 4-(6-amino-3-pyridinyl)-N-[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-

9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]- (9CI) (CA INDEX NAME)

RN 701214-85-9 HCAPLUS

CN Benzamide, 3-(6-amino-3-pyridinyl)-N-[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]- (9CI) (CA INDEX NAME)

RN 701214-86-0 HCAPLUS

CN Benzeneacetamide, N-[5-[4-[[[3-(3,4-dihydro-1,1-dioxido-6,8-dioxo-9-phenyl-2H,6H-pyrimido[6,1-b][1,3]thiazin-7(8H)-yl)propyl]amino]carbonyl]phenyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:771327 HCAPLUS

DN 139:271057

TI Use of pyridyl amides as inhibitors of angiogenesis

IN Biedermann, Elfi; Loeser, Roland; Rattel, Benno

PA Fujisawa Deutschland G.m.b.H., Germany

SO Eur. Pat. Appl., 24 pp.

CODEN: EPXXDW

```
DT
     Patent
LΑ
     English
FAN.CNT 1
                                                                   DATE
                                            APPLICATION NO.
     PATENT NO.
                         KIND
                                DATE
                                            ______
                                                                   _____
                                20031001
                                           EP 2002-6697
                                                                   20020327
                         A1
PΙ
     EP 1348434
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                           WO 2003-EP3060
                                                                   20030324
                                20031002
     WO 2003080054
                         A1
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                20041222
                                           EP 2003-744849
     EP 1487444
                          A1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                20020327
PRAI EP 2002-6697
                          Α
     WO 2003-EP3060
                          W
                                20030324
     MARPAT 139:271057
OS
GI
```

RN

The invention relates to the use of derivs. of Formula I (A = AB C1-10-alkylene, C2-10-alkenylene, and C2-10-alkinylene; R1 = H, C1-6-alkyl, fluoro, chloro, bromo, and perfluoro-C1-3-alkyl; R2 = H, C1-6-alkyl, and C2-6-alkenyl; and R3 = C1-6-alkyl, (C5-8-cycloalkyl)-C1-6-alkylalkyl, (C5-8-heterocycle)-C1-6-alkyl, C1-6-alkyl-(C5-8-heterocycle)-C1-6alkyl, and C1-5-alkylcarbonyl-(C5-8-heterocycle)-C1-6-alkyl) in the manufacture of a pharmaceutical composition for the treatment of a mammal, in which inappropriate, excessive or undesirable angiogenesis has occurred, and to the prevention thereof. The disease to be treated include rheumatoid arthritis, inflammatory disorder, macular degeneration, especially age-related macular degeneration, psoriasis; retinopathy, especially proliferative retinopathy and diabetic retinopathy, preneoplastic lesions and hyperplasia, especially benign prostatic hyperplasia and venous neointimal hyperplasia. A compound of Formula I may also be used for diagnostic purposes in vitro.

IT 227473-14-5 227473-28-1 606130-79-4

I

RL: DGN (Diagnostic use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(use of pyridyl amides as inhibitors of angiogenesis for disease treatment and diagnosis in relation to inhibition of VEGF production) 227473-14-5 HCAPLUS

CN 2-Propenamide, N-[4-(2,6-dioxo-4-phenyl-1-piperidinyl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-28-1 HCAPLUS

CN 2-Propenamide, N-[4-[2,6-dioxo-4-(phenylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 606130-79-4 HCAPLUS

CN 2-Propenamide, N-[4-(2,6-dioxo-3-phenyl-1-piperidinyl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L4 ANSWER 3 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN
- AN 1999:690954 HCAPLUS
- DN 131:307106
- TI Use of vitamin PP compounds as cytoprotective agents in chemotherapy
- IN Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, Friedemann; Schein, Barbara; Schemainda, Isabel; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja
- PA Klinge Pharma GmbH, Germany
- SO PCT Int. Appl., 145 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO 9953920	A1	19991028	WO 1999-EP2686	19990421
	W: AE, AL, AM,	AT, AU	, AZ, BA, E	BB, BG, BR, BY, CA, CH,	CN, CU, CZ,

```
DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
             JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
            MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
             TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ,
            MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     DE 19818044
                                19991028
                                          DE 1998-19818044
                                                                    19980422
                          A1
                                                                    19990226
                                20000830
                                            EP 1999-103814
     EP 1031564
                          A1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
                                            AU 1999-39282
                                                                    19990421
                          Α1
                                19991108
     EP 1079832
                                20010307
                                            EP 1999-922119
                                                                    19990421
                          A1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
         R:
     JP 2002512190
                          T2
                                20020423
                                            JP 2000-544324
                                                                    19990421
    WO 2000050399
                          A1
                                20000831
                                            WO 2000-EP1628
                                                                    20000228
            AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
             CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
             IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
             SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
             DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
             CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                            EP 2000-907642
                                                                    20000228
                                20011121
     EP 1154998
                          A1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2002537380
                          Т2
                                20021105
                                             JP 2000-600982
                                                                    20000228
                                            US 2001-935772
                                                                    20010823
    US 2002160968
                          A1
                                20021031
    US 6506572
                          B2
                                20030114
                          Α
                                19980422
PRAI DE 1998-19818044
                                19990226
     EP 1999-103814
                          Α
                          W
                                19990421
    WO 1999-EP2686
                          W
                                20000228
    WO 2000-EP1628
    MARPAT 131:307106
OS
     The invention relates to the use of vitamin PP compds. and/or compds. with
AΒ
     anti-pellagra activity such as for example nicotinic acid (niacin), and
     nicotinamide (niacin-amide, vitamin PP, vitamin B3) for the reduction,
     elimination or prevention of side-effects of different degrees as well as
     for neutralization of acute side-effects in immunosuppressive or
     cancerostatic chemotherapy or diagnosis, especially with substituted pyridine
     carboxamides, as well as combination medicaments with an amount of compds.
     with vitamin B3 and/or anti-pellagra activity and chemotherapeutic agents
     are especially considered in the mentioned chemotherapies and indications.
     Nicotinamide at 500 mg/kg twice daily protected mice treated i.p. with
     antitumor N-[4-(1-diphenylmethylpiperidin-4-yl)butyl]-3-(pyridin-3-
     yl)propionamide. There were no deaths in the nicotinamide-treated mice
     and the strong reduction of leukocytes was completely prevented.
IT
     227473-12-3 227473-14-5 227473-16-7
     227473-18-9 227473-19-0 227473-20-3
     227473-21-4 227473-23-6 227473-25-8
     227473-27-0 227473-28-1 227473-30-5
     227473-32-7 227473-33-8 227473-34-9
     227473-35-0 227473-36-1 227473-38-3
     RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
```

(vitamin PP compds. as cytoprotective agents in chemotherapy)

RN 227473-12-3 HCAPLUS

CN 2-Propenamide, N-[4-(2,5-dihydro-2,5-dioxo-3,4-diphenyl-1H-pyrrol-1-yl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

Ph N— (CH₂)
$$_4$$
 – NH – C – CH = CH $_{N}$

RN 227473-14-5 HCAPLUS

CN 2-Propenamide, N-[4-(2,6-dioxo-4-phenyl-1-piperidinyl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-16-7 HCAPLUS

CN 2-Propenamide, N-[4-(1,3-dihydro-1,3-dioxo-4,5,6,7-tetraphenyl-2H-isoindol-2-yl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-18-9 HCAPLUS

CN 2-Propenamide, 3-(3-pyridinyl)-N-[4-[2,4,5-trioxo-3-(phenylmethyl)-1-imidazolidinyl]butyl]- (9CI) (CA INDEX NAME)

RN 227473-19-0 HCAPLUS

CN 2-Propenamide, 3-(3-pyridinyl)-N-[4-(5,6,10,10a-tetrahydro-1,3,10-trioxo-1H,4H-acenaphtho[1,8a-c]pyrrol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)

RN 227473-20-3 HCAPLUS

CN 2-Propenamide, N-[4-(2,5-dioxo-4,4-diphenyl-1-imidazolidinyl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-21-4 HCAPLUS

CN 2-Propenamide, N-[4-(2,5-dihydro-2,5-dioxo-3-phenyl-1H-pyrrol-1-yl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

$$N$$
— $(CH_2)_4$ – NH — C – CH — CH — N

RN 227473-23-6 HCAPLUS

CN 2-Propenamide, N-[3-(2,5-dihydro-2,5-dioxo-3,4-diphenyl-1H-pyrrol-1-yl)propyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-25-8 HCAPLUS

CN 2-Propenamide, N-[4-(1,3,3a,4,9,9a-hexahydro-1,3-dioxo-4,9[1',2']-benzeno-2H-benz[f]isoindol-2-yl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-27-0 HCAPLUS CN 2-Propenamide, N-[4-[2,4-dioxo-5-(phenylmethylene)-3-thiazolidinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-28-1 HCAPLUS
CN 2-Propenamide, N-[4-[2,6-dioxo-4-(phenylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-30-5 HCAPLUS

CN 2-Propenamide, N-[6-(2,5-dihydro-2,5-dioxo-3,4-diphenyl-1H-pyrrol-1-yl)hexyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-32-7 HCAPLUS

CN 3-Pyridinepropanamide, N-[4-(2,5-dihydro-2,5-dioxo-3,4-diphenyl-1H-pyrrol-1-yl)butyl]- (9CI) (CA INDEX NAME)

RN 227473-33-8 HCAPLUS

CN 2-Propenamide, N-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-34-9 HCAPLUS

CN 2-Propenamide, N-[4-(1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)butyl]-3-(1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-35-0 HCAPLUS

CN 2-Propenamide, N-[4-(1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-36-1 HCAPLUS

CN 2-Propenamide, N-[6-(1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)hexyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-38-3 HCAPLUS

CN 2-Propenamide, N-[2-(1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 3 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4ANSWER 4 OF 4 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:404952 HCAPLUS

DN 131:58758

ΤI Cyclic imide-substituted pyridylalkanecarboxamides, pyridylalkenecarboxamides and pyridylalkynecarboxamides useful as cytostatic and immunosuppressive agents

Biedermann, Elfi; Hasmann, Max; Loser, Roland; Rattel, Benno; Reiter, IN Friedemann; Schein, Barbara; Seibel, Klaus; Vogt, Klaus; Wosikowski, Katja

Klinge Pharma G.m.b.H., Germany PΑ

PCT Int. Appl., 168 pp. SO

CODEN: PIXXD2

DTPatent

LΑ English

FAN.	_																		
	PATENT NO.			KIND DATE		APPLICATION NO.													
ΡĪ							WO 1998-EP8267												
		W:						BA,											
								GE,											
								LK,											
								RO,											
																		ТJ,	TM
		RW:						SD,											
			FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,	
								MR,											
	DE	1975	6212			A1		1999	0701		DE 1:	997-	1975	6212		19	9971	217	
	zA	9811	231			Α		1999	0608	ZA 1998-11231 S AU 1999-24146			19981208						
	ΑU	9924	146			A 1		1999	0705		AU 1	999-	2414	6		19	9981	216	
	EP	1042	315			A 1		2000	1011		EP 1	998-	9666	34		19	19981216		
	EP	1042	315			В1		2004	0414										
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
			IE,	FI															
	JP	2002	5083	67		Т2		2002									9981		
	ΑT	2643	21			E	20040415		AT 1998-966634				19981216						
	PT	1042	315			${f T}$	20040831			PT 1998-966634				19981216					
	ES	2218	881			Т3				ES 1998-966634		19981216							
PRAI	DE	1997	-197	5621	2	Α		1997	1217										
	WO	1998	-EP8	267		W		1998	1216										
os	MAR	PAT	131:	5875	8														
GI																			
	runn	KIMI	151.	3073	0														

AB Pyridine derivs. I [R1 = H, OH, halo, CN, or organic group; R2 = H, halo, CN, alkyl, trifluoromethyl, OH, alkoxy, or aralkoxy; R3 = H, alkyl, alkenyl, alkynyl, OH, alkoxy, or aryloxy; A = (substituted) alkylene, 1,2-cyclopropylene, (substituted) alkenylene, (substituted) alkadienylene, (substituted) hexatrienylene, or ethynylene; D = (substituted) alkylene, (substituted) alkenylene, (substituted) alkynylene (in which 1-3 CH2 units is isosterically replaced by O, S, NR4, CO, SO, or SO2, R4 = H, alkyl, alkenyl, acyl, or alkanesulfonyl); E = N-substituted cyclic imide or N-substituted cyclic sulfonimide; k = 0 or 1] are manufactured for use as cytostatic agents and immunosuppressive agents. Thus, slowing adding 46.9 mmol oxalyl chloride to 20 mmol 3-(3-pyridyl)acrylic acid suspended in CH2C12, stirring the mixture with ice-cooling for 30 min and then at room temperature overnight, suspending the resulting acid chloride in CH2C12,

cooling

to 0° under anhydrous conditions, adding 17.6 mmol

4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl)butylamine-HCl in CH2Cl2 and 39.5 mmol Et3N dropwise, and stirring an addnl. 2 h at room temperature

gave

N-[4-(2,5-dioxo-3,4-diphenyl-2,5-dihydropyrrol-1-yl) butyl]-3-pyridin-3-ylacrylamide.

IT 227473-12-3P 227473-14-5P 227473-16-7P

227473-18-9P 227473-19-0P 227473-20-3P

227473-21-4P 227473-23-6P 227473-27-0P

227473-28-1P 227473-30-5P 227473-32-7P

227473-33-8P 227473-34-9P 227473-36-1P

227473-38-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cyclic imide-substituted pyridyl carboxamides for cytostatic and immunosuppressive agents)

RN 227473-12-3 HCAPLUS

CN 2-Propenamide, N-[4-(2,5-dihydro-2,5-dioxo-3,4-diphenyl-1H-pyrrol-1-yl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-14-5 HCAPLUS

CN 2-Propenamide, N-[4-(2,6-dioxo-4-phenyl-1-piperidinyl)butyl]-3-(3-

pyridinyl) - (9CI) (CA INDEX NAME)

RN 227473-16-7 HCAPLUS

CN 2-Propenamide, N-[4-(1,3-dihydro-1,3-dioxo-4,5,6,7-tetraphenyl-2H-isoindol-2-yl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-18-9 HCAPLUS

CN 2-Propenamide, 3-(3-pyridinyl)-N-[4-[2,4,5-trioxo-3-(phenylmethyl)-1-imidazolidinyl]butyl]- (9CI) (CA INDEX NAME)

RN 227473-19-0 HCAPLUS

CN 2-Propenamide, 3-(3-pyridinyl)-N-[4-(5,6,10,10a-tetrahydro-1,3,10-trioxo-1H,4H-acenaphtho[1,8a-c]pyrrol-2(3H)-yl)butyl]- (9CI) (CA INDEX NAME)

RN 227473-20-3 HCAPLUS

CN 2-Propenamide, N-[4-(2,5-dioxo-4,4-diphenyl-1-imidazolidinyl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-21-4 HCAPLUS

CN 2-Propenamide, N-[4-(2,5-dihydro-2,5-dioxo-3-phenyl-1H-pyrrol-1-yl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

$$N$$
— $(CH_2)_4$ — N H— C — CH — CH
 N
 N
 N

RN 227473-23-6 HCAPLUS

CN 2-Propenamide, N-[3-(2,5-dihydro-2,5-dioxo-3,4-diphenyl-1H-pyrrol-1-yl)propyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-27-0 HCAPLUS

CN 2-Propenamide, N-[4-[2,4-dioxo-5-(phenylmethylene)-3-thiazolidinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-28-1 HCAPLUS

CN 2-Propenamide, N-[4-[2,6-dioxo-4-(phenylmethyl)-1-piperazinyl]butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-30-5 HCAPLUS

CN 2-Propenamide, N-[6-(2,5-dihydro-2,5-dioxo-3,4-diphenyl-1H-pyrrol-1-yl)hexyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-32-7 HCAPLUS

CN 3-Pyridinepropanamide, N-[4-(2,5-dihydro-2,5-dioxo-3,4-diphenyl-1H-pyrrol-1-yl)butyl]- (9CI) (CA INDEX NAME)

Ph N— (CH₂)
$$_4$$
 – NH – C – CH₂ – CH₂ – NN N

RN 227473-33-8 HCAPLUS

CN 2-Propenamide, N-[4-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-34-9 HCAPLUS

CN 2-Propenamide, N-[4-(1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)butyl]-3-(1-oxido-3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-36-1 HCAPLUS

CN 2-Propenamide, N-[6-(1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)hexyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RN 227473-38-3 HCAPLUS

CN 2-Propenamide, N-[2-(1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)ethyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

IT 227473-25-8P

RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(cyclic imide-substituted pyridyl carboxamides for cytostatic and immunosuppressive agents) $\,$

RN 227473-25-8 HCAPLUS

CN 2-Propenamide, N-[4-(1,3,3a,4,9,9a-hexahydro-1,3-dioxo-4,9[1',2']-benzeno-2H-benz[f]isoindol-2-yl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

IT 227473-35-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(precursor; cyclic imide-substituted pyridyl carboxamides for cytostatic and immunosuppressive agents)

RN 227473-35-0 HCAPLUS

CN 2-Propenamide, N-[4-(1,3-dioxo-1H-benz[de]isoquinolin-2(3H)-yl)butyl]-3-(3-pyridinyl)- (9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	29.56	192.94
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-2.92	-2.92

STN INTERNATIONAL LOGOFF AT 10:43:37 ON 16 FEB 2005